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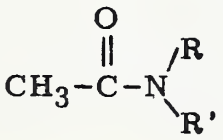
SCREENING TESTS OF SOME N-SUBSTITUTED ACETAMIDES AS INSECTICIDES AND ACARICIDES

By S. I. Gertler and A. P. Yerington,[1]
Entomology Research Branch

Sixty-nine N-substituted acetamides have been tested as insecticides and acaricides in the laboratory at Anaheim, Calif. These compounds may be represented by the general formula



where R or R' is a hydrogen, alkyl, cycloalkyl, aryl, substituted aryl, or heterocyclic group. They were prepared by reacting various amines with acetic acid or acetic anhydride under suitable conditions.

These compounds together with their physical constants are listed in table 1, arranged according to increasing complexity. A few of the compounds were obtained from outside sources (indicated by a), and for them the constants were taken from the literature when they could be found. The compounds were tested in acetone solutions at various concentrations, most of them at a maximum of 5 percent although a few were not sufficiently soluble to be used at that concentration.

The following test insects were used:

Armyworm (Pseudaletia unipuncta (Haw.), third-instar larvae
Celery leaf tier (Phlyctaenia rubigalis (Guen.), third-instar larvae
Large milkweed bug (Oncopeltus fasciatus (Dall.), fourth-instar nymphs
Pea aphid (Macrosiphum pisi (Harris), adults
Citrus red mite (Metatetranychus citri (McG.), adults

1/ Now with the Agricultural Marketing Service, Biological Sciences Branch.

The methods of testing against all but the citrus red mite are described in the publication E-877, entitled "Preliminary Tests of Some Phenol Esters of Butyric Acid," issued by the former Bureau of Entomology and Plant Quarantine. This mite was tested by spraying orange fruits infested with adults.

The results of the tests against all the species are presented in table 2.

Against armyworms 10 of the 69 compounds tested showed 90-100 percent kill at 5 percent concentration, but at 1 percent only 3 showed at least 60 percent.

Against larvae of the celery leaf tier none of the 22 compounds tested were effective even at 5 percent.

Against nymphs of the large milkweed bug, 19 of the 69 compounds tested gave 90-100 percent mortality at 5 percent and 6 of these at 1 percent. The most toxic compounds were the N-substituted acetanilides with two each of the heterocyclic derivatives and the higher alkyl disubstituted acetamides. N-butylacetanilide (No. 45) gave 60-percent kill at 0.5 percent.

Against adult pea aphids all 69 compounds killed 90-100 percent at 5 percent and 4 showed up well at 1 percent. N,N-Dibenzylacetamide (No. 26), though not soluble at the higher concentration, was toxic to 63 percent of the insects even at 0.01 percent.

The results against the adult citrus red mite indicate that most of the compounds are much better acaricides than insecticides. Thirty-three of the 64 compounds tested gave 90-100 percent kill at 1 percent and 6 at 0.1 percent. Six also showed significant toxicity at 0.05 percent. N,N-Dioctylacetamide (No. 21) proved to be a highly effective miticide, showing 87 percent kill at a strength as low as 0.0025 percent. The second most effective compound of the group (No. 22) is related to it but has a branched 8-carbon chain instead of a straight one.

N,N-Dibutylacetamide (No. 19) and N,N-dipentylacetamide (No. 20) were found to be effective against four of the five test species, including the mite. The N-butyl-, N-pentyl-, and N-isopentyl- acetanilides (Nos. 45, 46, and 47) were toxic to two insect species and the mite, and N-methylacetanilide (No. 43) to two insect species. N,N-Di(2-ethylhexyl)-acetamide (No. 22), N-heptylacetamide (No. 12), and 6-acetamido-2-picoline (No. 42) were toxic to one insect species and were also miticidal.

Although a few of the compounds are effective against several insects as well as mites, the results of these preliminary tests show a more or less selective action by most of them. This is in line with our previous experience.

Table 1.---Physical constants of N-substituted acetamides $CH_3-C(=O)-N(R)(R')$

No.	Compound	R	R'	Empirical formula	Yield (%)	Boiling point °C.	Boiling point mm Hg	Melting point (°C.)	n_D^{25}
1	Acetamide, N-methyl-	H	CH_3	C_3H_7NO	80	200-1	-	28	1.4290
2	N-ethyl-	H	C_2H_5	C_4H_9NO	-	205(a)	-	-	1.4320(a)
3	N-propyl-	H	C_3H_7	$C_5H_{11}NO$	83	114-6	13	-	1.4352
4	N-isopropyl-	H	$(CH_3)_2CH$	$C_5H_{11}NO$	80	102-4	14	-	1.4291
5	N-butyl-	H	C_4H_9	$C_6H_{13}NO$	-	229(a)	-	-	1.4390(a)
6	N-isobutyl-	H	$(CH_3)_2CHCH_2$	$C_6H_{13}NO$	93	116	13	-	-
7	N-sec-butyl-	H	$C_2H_5(CH_3)CH$	$C_6H_{13}NO$	98	113	13	-	1.4355
8	N-tert-butyl-	H	$(CH_3)_3C$	$C_6H_{13}NO$	75	-	-	101-2	-
9	N-pentyl-	H	C_5H_{11}	$C_7H_{15}NO$	98	132-3	13	-	-
10	N-(1-methylbutyl)-	H	$C_3H_7(CH_3)CH$	$C_7H_{15}NO$	75	130	19	-	1.4397
11	N-hexyl-	H	C_6H_{13}	$C_8H_{17}NO$	84	121-2	2.5	-	1.4445
12	N-heptyl-	H	C_7H_{15}	$C_9H_{19}NO$	78	155-7	13	-	-
13	N-octyl-	H	C_8H_{17}	$C_{10}H_{21}NO$	77	161-3	13	-	-
14	N-allyl-	H	$CH_2:CHCH_2$	C_5H_9NO	65	113-6	15	-	1.4551
15	N, N-dimethyl-	CH_3	CH_3	C_4H_9NO	80	165	-	-	1.4238
16	N, N-diethyl-	C_2H_5	C_2H_5	$C_6H_{13}NO$	-	185-6(a)	-	-	1.4310(a)
17	N, N-dipropyl-	C_3H_7	C_3H_7	$C_8H_{17}NO$	85	95	13	-	-
18	N, N-diisopropyl-	$(CH_3)_2CH$	$(CH_3)_2CH$	$C_8H_{17}NO$	62	80	13	-	-
19	N, N-dibutyl-	C_4H_9	C_4H_9	$C_{10}H_{21}NO$	-	116-20(a)	11	-	1.4450(a)
20	N, N-dipentyl-	C_5H_{11}	C_5H_{11}	$C_{12}H_{25}NO$	-	-	-	-	-
21	N, N-dioctyl-	C_8H_{17}	C_8H_{17}	$C_{18}H_{37}NO$	90	136-8	0.1	-	1.4542
22	N, N-di(2-ethylhexyl)-	$C_4H_9CH(C_2H_5)CH_2$	$C_4H_9CH(C_2H_5)CH_2$	$C_{18}H_{37}NO$	90	128-9	0.3	-	1.4570
23	N-cyclohexyl-	H	C_6H_{11}	$C_8H_{15}NO$	77	-	-	108.5-9.5	-
24	N, N-dicyclohexyl-	$C_6H_5CH_2$	C_6H_{11}	$C_{14}H_{25}NO$	90	-	-	104-5	-
25	N-benzyl-	H	$C_6H_5CH_2$	$C_9H_{11}NO$	86	-	-	64.5-5.5	-
26	N, N-dibenzyl-	$C_6H_5CH_2$	$C_6H_5CH_2$	$C_{16}H_{17}NO$	61	157	0.7	-	1.5727
27	N-phenethyl-	H	$C_6H_5CH_2CH_2$	$C_{10}H_{13}NO$	88	118	0.1	55-6	-
28	N-(alpha-methylbenzyl)-	H	$C_6H_5(CH_3)CH$	$C_{10}H_{13}NO$	92	172-3	10	76-7	-
29	N-(2-bicyclohexyl)-	H	$2'-C_6H_{11}C_6H_{10}$	$C_{14}H_{25}NO$	54	-	-	132-3	-
30	N-(2-hydroxyethyl)-	H	$HOCH_2CH_2$	$C_4H_9NO_2$	67	178-9	13	-	1.4705
31	N-(3-methoxypropyl)-	H	$CH_3O(CH_2)_3$	$C_6H_{13}NO_2$	97	138-9	10	-	1.4480
32	N-(3-isopropoxypropyl)-	H	$(CH_3)_2CHO(CH_2)_3$	$C_8H_{17}NO_2$	99	144-5	10	-	1.4433
33	N-(3-dimethylaminopropyl)-	H	$(CH_3)_2N(CH_2)3$	$C_7H_{16}N_2O$	75	142-3	10	-	1.4583
34	N-(2-hydroxyethyl-aminoethyl)-	H	$HO(CH_2)_2NH(CH_2)_2$	$C_6H_{14}N_2O_2$	-	135	0.3	-	-
35	N, N'-ethylenebis-	H	$CH_3CONHCH_2\ CH_2$	$C_6H_{12}N_2O_2$	60	-	-	174-5	-
36	Piperidine, 1-acetyl-		$(CH_2)_5$	$C_7H_{13}NO$	64	221-2	-	-	-
37	Morpholine, 4-acetyl-		$(CH_2)_2O(CH_2)_2$	$C_6H_{11}NO_2$	60	117-8	13	-	-
38	Acetamide, N-(2-morpholinoethyl)-	H	$(CH_2)_2O(CH_2)_2N(CH_2)_2$	$C_8H_{16}N_2O_2$	83	-	-	96-7	-
39	Pyridine, 2-acetamido-	H	NCHCHCHCHCH	$C_7H_8N_2O$	74	-	-	70-1	-
40	3-Picoline, 2-acetamido-	H	NCHCHCHCH(CH_3)CH	$C_8H_{10}N_2O$	70	-	-	92.5-3.5	-
41	4-Picoline, 2-acetamido-	H	NCHCHCH(CH_3)CHCH	$C_8H_{10}N_2O$	89	-	-	75-6	-
42	2-Picoline, 6-acetamido-	H	NCH(CH_3)CHCHCHCH	$C_8H_{10}N_2O$	84	-	-	90-1	-
43	Acetanilide, N-methyl-	CH_3	C_6H_5	$C_9H_{11}NO$	40	-	-	100.5-1.5	-
44	N-ethyl-	C_2H_5	C_6H_5	$C_{10}H_{13}NO$	78	-	-	54. 5-5.5	-
45	N-butyl-	C_4H_9	C_6H_5	$C_{12}H_{17}NO$	95	153-4	17	-	1.5124

46	Acetanilide, N-pentyl-	C_5H_{11}	C_6H_5	$C_{13}H_{19}NO$	91	97	1.2	-	1.5102
47	N-isopentyl-	$(CH_3)_2CH(CH_2)_2$	C_6H_5	$C_{13}H_{19}NO$	91	100	0.8	-	1.5080
48	2', 5'-dichloro-	H	2', 5'-$Cl_2C_6H_3$	$C_8H_7Cl_2NO$	91	-	-	135-6	-
49	2', 5'-dichlorodi-	CH_3CO	2', 5'-$Cl_2C_6H_3$	$C_{10}H_9Cl_2NO_2$	81	-	-	81-2	-
50	3'-nitro-	H	3'-$NO_2C_6H_4$	$C_8H_8N_2O_3$	50	-	-	152-3	-
51	2', 4'-dinitro-	H	2', 4'-$(NO_2)_2C_6H_3$	$C_8H_7N_3O_5$	69	-	-	122-3	-
52	2'-hydroxy-	H	2'-HOC_6H_4	$C_8H_9NO_2$	52	-	-	206-7	-
53	3'-hydroxy-	H	3'-HOC_6H_4	$C_8H_9NO_2$	-	-	-	148-9(a)	-
54	4'-hydroxy-	H	4'-HOC_6H_5	$C_8H_9NO_2$	-	-	-	167-8(a)	-
55	2', 5'-dimethoxy-	H	2', 5'-$(CH_3O)_2C_6H_3$	$C_{10}H_{13}NO_3$	87	-	-	93-4	-
56	2', 5'-diethoxy-	H	2', 5'-$(C_2H_5O)_2C_6H_3$	$C_{12}H_{17}NO_3$	80	-	-	117-8	-
57	2'-phenyl-	H	2'-$C_6H_5C_6H_4$	$C_{14}H_{13}NO$	70	-	-	121.5-2.5	-
58	3'-acetyl-	H	3'-$CH_3COC_6H_4$	$C_{10}H_{11}NO_2$	78	-	-	128.5-9.5	-
59	4'-acetyl-	H	4'-$CH_3COC_6H_4$	$C_{10}H_{11}NO_2$	67	-	-	171-2	-
60	4'-benzoyl-	H	4'-$C_6H_5COC_6H_4$	$C_{15}H_{13}NO_2$	97	-	-	156.5-7.5	-
61	4'-(1, 1-dimethylpropyl)-	H	$C_2H_5(CH_3)_2C_6H_4$	$C_{13}H_{19}NO$	82	-	-	141-2	-
62	4'-dimethylamino-	H	$(CH_3)_2NC_6H_4$	$C_{10}H_{14}N_2O$	36	-	-	131-2	-
63	4'-phenylazo-	H	$C_6H_4N{:}NC_6H_4$	$C_{14}H_{13}N_3O$	90	-	-	154-5	-
64	o-Acetotoluidide, 3'-chloro-	H	2', 3'-$CH_3ClC_6H_3$	$C_9H_{10}ClNO$	94	-	-	159-60	-
65	5'-chloro-	H	2', 5'-$CH_3ClC_6H_3$	$C_9H_{10}ClNO$	80	-	-	129-30	-
66	4'-m-tolylazo-	H	3''-$CH_3C_6H_4N{:}NC_6H_4CH_3(2')$	$C_{16}H_{17}N_3O$	91	-	-	187-8	-
67	o-Acetanisidide, 5'-chloro-	H	2', 5'-$(CH_3O)ClC_6H_3$	$C_9H_{10}ClNO_2$	70	-	-	104-5	-
68	Anthraquinone, 2-acetamido-	H	2-$C_6H_4OOC_6H_3CO$	$C_{16}H_{11}NO_3$	90	-	-	264-5	-
69	Benzoic acid, 2-acetamido-5-chloro-	H	2-$C_6H_3(COOH\text{-}1)(Cl\text{-}5)$	C_9H_8ClNO	60	-	-	202-3	-

Table 2.--Percent mortality of various tests insects 2 to 3 days after spraying with N-substituted acetamides in acetone solution

Item No.[1]	% Concn.	Armyworm[2]	Celery leaf tier[2]	Large milkweed bug	Pea aphid	Citrus red mite
1	1	0 xx	-	0	11	7
2	5	97 x	17 xxx	0	4	-
	1	0 xxx	-	-	-	0
3	5	0 xxx	0 xxx	30	0	-
	1	-	-	-	-	48
4	5	3 xxx	13 xx	15	4	-
	1	-	-	-	-	93
	0.1	-	-	-	-	6
5	5	100 n	-	0	58	-
	1	0 xxx	4 xxx	-	-	82
6	5	-	0 xxx	90	0	-
	1	0 xx	-	0	-	50
7	5	-	-	20	0	-
	1	0 xxx	13 xx	-	-	64
8	5	93 n	-	100	16	-
	1	60 xxx	-	0	-	76
9	1	0 xxx	0 xxx	80	0	92
	0.1	-	-	-	-	50
10	5	-	-	-	38	-
	1	0 xx	-	10	-	-
11	5	27 xx	-	40	50	-
	1	-	-	-	-	92
	0.1	-	-	-	-	52
12	5	-	0 xxx	100	91	-
	1	0 xxx	-	0	14	100
	0.1	-	-	-	-	75

1/ See table 1 for name of compound.
2/ Heavy feeding xxx, moderate xx, slight x, no feeding n.

Table 2.--Continued

Item No.	% Concn.	Armyworm	Celery leaf tier	Large milkweed bug	Pea aphid	Citrus red mite
13	5	13 x	4 xx	75	100	-
	1	-	-	-	42	100
	0.1	-	-	-	-	94
	0.05	-	-	-	-	89
14	5	7 xx	-	0	37	-
	1	-	-	-	-	38
15	5	47 xxx	-	15	0	-
	1	-	-	-	-	31
16	5	70 xx	8 xx	90	0	-
	1	-	-	5	-	0
17	5	90 x	0 xxx	100	11	-
	1	0 xxx	-	0	-	54
18	5	33 xx	13 xx	100	0	-
	1	-	-	0	-	89
19	5	100 n	8 xx	100	100	-
	1	10 xx	-	100	25	94
	0.5	-	-	0	-	-
	0.1	-	-	-	-	78
20	5	100 n	13 xx	100	100	-
	1	0 xx	-	90	0	100
	0.5	-	-	0	-	-
	0.1	-	-	-	-	71
21	5	67 xx	-	65	-	-
	1	-	-	-	100	100
	0.5	-	-	-	21	-
	0.1	-	-	-	-	100
	0.05	-	-	-	-	100
	0.01	-	-	-	-	94
	0.005	-	-	-	-	95
	0.0025	-	-	-	-	87

Table 2.--Continued

Item No.	% Concn.	Armyworm	Celery leaf tier	Large milkweed bug	Pea aphid	Citrus red mite
22	5	100 n	-	95	100	-
	1	63 xx	-	0	95	100
	0.5	-	-	-	9	-
	0.1	-	-	-	-	100
	0.05	-	-	-	-	76
23	5	-	-	0	10	-
	1	0 xxx	-	-	-	49
24	5	-	-	95	36	-
	1	0 xx	-	0	-	100
	0.1	-	-	-	-	73
25	5	7 xx	-	20	34	-
	1	-	-	-	-	100
	0.1	-	-	-	-	89
26	1	40 x	-	55	75	-
	0.5	-	8 xxx	-	78	-
	0.1	-	-	-	87	-
	0.05	-	-	-	100	-
	0.01	-	-	-	63	-
27	5	33 xx	-	10	69	-
	1	-	-	-	-	100
	0.1	-	-	-	-	33
28	5	43 xx	-	0	48	-
	1	-	-	-	-	100
	0.1	-	-	-	-	49
29	5	0 xxx	-	0	53	-
	1	-	-	-	-	55
30	5	0 xxx	-	0	11	-
31	5	0 xxx	-	0	8	-
	1	-	-	-	-	71

Table 2.--Continued

Item No.	% Concn.	Armyworm	Celery leaf tier	Large milkweed bug	Pea aphid	Citrus red mite
32	5	0 xxx	-	10	15	-
	1	-	-	-	-	100
	0.1	-	-	-	-	41
33	5	0 xxx	-	0	68	-
	0.1	-	-	-	-	60
34	5	10 xx	-	0	94	-
	1	-	-	-	22	100
	0.1	-	-	-	-	56
35	5	0 xxx	-	-	-	-
	1	-	-	0	25	100
	0.1	-	-	-	-	25
36	5	37 xx	0 xxx	100	0	-
	1	-	-	90	-	79
	0.5	-	-	0	-	-
37	5	0 xxx	0 xxx	10	0	-
38	5	0 xx	-	60	-	-
	1	-	-	-	100	72
	0.5	-	-	-	56	-
39	5	90 n	-	55	78	-
	1	67 xx	-	-	-	97
	0.1	-	-	-	-	67
40	5	0 xx	-	70	19	-
	1	-	-	-	-	93
	0.1	-	-	-	-	80
41	5	67 xx	-	95	69	-
	1	-	-	0	-	95
	0.1	-	-	-	-	31
42	5	60 xx	-	100	74	-
	1	-	-	95	-	100
	0.5	-	-	40	-	-
	0.1	-	-	-	-	73

Table 2.--Continued

Item No.	% Concn.	Armyworm	Celery leaf tier	Large milkweed bug	Pea aphid	Citrus red mite
43	5	100 n	-	100	0	-
	1	37 xx	-	35	-	59
44	5	-	-	-	34	-
	1	10 xxx	-	10	-	-
45	5	57 x	-	100	100	-
	1	-	-	100	30	100
	0.5	-	-	60	-	-
	0.1	-	-	-	-	47
46	5	87 x	-	100	100	-
	1	-	-	100	17	100
	0.5	-	-	0	-	-
	0.1	-	-	-	-	100
	0.05	-	-	-	-	66
47	5	93 n	-	100	100	-
	1	0 xxx	-	70	0	100
	0.1	-	-	-	-	73
48	5	43 xx	13 xx	30	0	-
	1	-	-	-	-	100
	0.1	-	-	-	-	59
49	5	33 xx	-	95	0	-
	1	-	-	35	-	100
	0.1	-	-	-	-	45
50	5	27 xx	0 xxx	0	23	-
	1	-	-	-	-	76
51	5	27 xx	-	30	15	-
	1	-	-	-	-	100
	0.1	-	-	-	-	100
	0.05	-	-	-	-	73
52	1	0 xxx	0 xxx	10	0	100
	0.1	-	-	-	-	34

Table 2.--Continued

Item No.	% Concn.	Armyworm	Celery leaf tier	Large milkweed bug	Pea aphid	Citrus red mite
53	5	-	0 xx	-	-	-
	1	0 xxx	-	10	10	100
	0.1	-	-	-	-	27
54	5	-	0 xxx	-	-	-
	1	0 xxx	-	0	0	95
	0.1	-	-	-	-	47
55	5	0 xx	-	0	28	-
	1	-	-	-	-	31
56	5	0 xxx	-	0	53	-
	1	-	-	-	-	31
57	5	3 xx	-	10	33	-
	1	-	-	-	-	96
	0.1	-	-	-	-	96
	0.05	-	-	-	-	93
	0.01	-	-	-	-	50
58	1	0 xxx	-	0	26	92
	0.1	-	-	-	-	36
59	1	0 xxx	-	5	7	55
60	5	0 xx	-	0	19	-
	1	-	-	-	-	84
61	5	0 xxx	-	0	0	-
	1	-	-	-	-	69
62	5	67 x	-	0	0	-
	1	-	-	-	-	100
	0.1	-	-	-	-	70
63	5	50 xx	-	0	0	-
	1	-	-	-	-	34
64	5	7 xx	-	0	18	-
	1	-	-	-	-	41

Table 2.--Continued

Item No.	% Concn.	Armyworm	Celery leaf tier	Large milkweed bug	Pea aphid	Citrus red mite
65	5	73 xx	-	0	14	-
	1	-	-	-	-	71
66	1	0 xxx	-	0	0	31
67	5	10 xx	-	10	11	-
	1	-	-	-	-	68
68	1	7 xxx	-	0	0	53
69	1	7 xx	-	15	13	92
	0.1	-	-	-	-	45
Controls:						
DDT	0.1	-	94	-	-	-
	0.025	87	-	-	-	-
Lindane	0.0025	-	-	64	-	-
Parathion	0.0025	-	-	-	87	-
Aramite	0.01	-	-	-	-	87

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